

(PCT Article 36 and Rule 70)

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/EP2004/013615

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:
- ☐ international search (Rule 12.3 and 23.1(b))
- ☐ publication of the international application (Rule 12.4)
- ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
- ☐ the international application as originally filed/furnished
- ☒ the description:
- pages 1-38 as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☒ the claims:
- nos. _____ as originally filed/furnished
- nos.* _____ as amended (together with any statement) under Article 19
- nos.* 1-16 received by this Authority on 03.09.2005 with letter of 30.08.2005
- nos.* _____ received by this Authority on _____
- ☐ the drawings:
- sheets _____ as originally filed/furnished
- sheets* _____ received by this Authority on _____
- sheets* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☒ The amendments have resulted in the cancellation of:
- ☐ the description, pages _____
- ☒ the claims, nos. 7
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages _____
- ☐ the claims, nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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International application No.

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Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement		
1. Statement			
Novelty (N)	Claims	<u>1-16</u>	YES
	Claims		NO
Inventive step (IS)	Claims	<u>1-16</u>	YES
	Claims		NO
Industrial applicability (IA)	Claims	<u>1-16</u>	YES
	Claims		NO
2. Citations and explanations (Rule 70.7)			
Reference is made to the following documents:			
D1: DE 197 41 411 A1 (NOVARTIS AG, BASEL, CH) 26 March 1998			
D2: US-A-5 169 430 (STRUNK ET AL) 8 December 1992			
D3: EP-A-0 545 206 (BAYER AG) 9 June 1993			
D4: WO 03/097589 A1 (BASF AG, GERMANY) 27 November 2003			
D5: WO 03/024221 A1 (BASF AG) 27 March 2003			
D6: WO 01/83459 A (BASF AKTIENGESELLSCHAFT) 8 November 2001			
D7: EP-A-0 831 091 (NOVARTIS AG) 25 March 1998			
D8: A. M. KAMAL EL-DEAN AND M.E.ABDEL-MONEAM: "synthesis of pyrimidines, thienopyrimidines and pyrazolopyrimidine" J. OF CHINESE CHEM. SOC, Vol. 49, 2002, pages 1057-1060, XP009046134			
1. Novelty (PCT Article 33(2)):			
The present application discloses a method for producing 3-phenyl(thio)uracils and 3-phenyldithiouracils by reacting a phenyliso(thio)cyanate II with an enamine III.			

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	<p>D1-D3, D7 and D8 also describe the reaction of an enamine with a phenyliso(thio)cyanate for producing 3-phenyliso(thio)uracils; however, the phenyliso(thio)cyanate compound does not contain the acylsulfonamide group.</p> <p>In claims 16 and 17 in D4, 3-phenyl(thio)uracils and 3-phenyldithiouracils are produced by reacting a sulfamide acid amide with a 3-uracil benzoic acid derivative.</p> <p>D5 and D6 describe a method for producing 3-phenyliso(thio)uracils and 3-phenyldithiouracils by substituting a halogen atom with a uracil-, thiouracil or dithiouracil group or by reacting an aniline compound with an oxazinone, followed by the alkylation of the 3-phenyluracil obtained.</p> <p>The requirements of PCT Article 33(2) are therefore met.</p> <p>2. Inventive step (PCT Article 33(3)):</p> <p>The technical problem addressed in the present application can be considered that of developing an improved method for preparing 3-phenyl(thio)uracils and 3-phenyldithiouracils which achieves a high yield and high degree of purity and makes the desired product accessible in a simple and economic manner. D2 and D7 describe the production of 3-phenyluracils by reacting a phenylisocyanate with an enamine, though the phenylisocyanate compound carries a sulfonamide group instead of the acylsulfonamide group.</p> <p>A person skilled in the art would therefore readily use the method known from D2 (D7) in producing the compounds as per the invention and would arrive in this way at the method as per claim 1, without thereby being inventive.</p>

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However, the applicant has shown in the letter of 30 August 2005 in a report of an experiment that the compounds as per the invention cannot be produced using the method disclosed in D7 (in which a catalytic amount of base is used) or in the method disclosed in D2 (equimolar amount of base used).

Whilst in D7 a catalytic amount of base is used, and in D2 an approximately equimolar amount of base, in the claimed method, a large excess of 1.8 to 2.6 base equivalent is used.

Neither D7 nor D2 suggests that the desired phenyluracils can be produced by an excess of base.

The subject matter of claim 1 can be deemed inventive, since it has been shown that the claimed method, in which a corresponding large excess of 1.8 to 2.6 base equivalent is used, leads surprisingly to the compounds as per the invention, in contrast to the methods from D2 or D7.

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of: I

In the letter of 30 August 2005, the applicant submitted an amended set of claims:

In claim 1, the feature "in the presence of 1.8 to 2.6 base equivalent per mole of the phenyliso(thio)cyanate of the formula II" was added.

The basis for this amendment can be found on page 17, line 21 of the original disclosure. This feature was presented as essential to the functioning of the invention, taking into consideration the technical problem it is intended to solve.

Claim 7 was deleted and the numbering and back references of the remaining claims amended accordingly.

The subject matter of the application does not go beyond the content of the application as originally filed (PCT Article 19(2) / PCT Article 34(2)(b)).